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LOGINID:SSSPTASEL1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 JUL 02 LMEDLINE coverage updated
NEWS 3 JUL 02 SCISEARCH enhanced with complete author names
NEWS 4 JUL 02 CHEMCATS accession numbers revised
NEWS 5 JUL 02 CA/CAplus enhanced with utility model patents from China
NEWS 6 JUL 16 CAplus enhanced with French and German abstracts
NEWS 7 JUL 18 CA/CAplus patent coverage enhanced
NEWS 8 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9 JUL 30 USGENE now available on STN
NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 11 AUG 06 BEILSTEIN updated with new compounds
NEWS 12 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 13 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents
NEWS 14 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 15 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 16 AUG 27 USPATOLD now available on STN
NEWS 17 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 18 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 19 SEP 13 FORIS renamed to SOFIS
NEWS 20 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 21 SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 22 SEP 17 CAplus coverage extended to include traditional medicine patents
NEWS 23 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 24 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 10:11:42 ON 11 OCT 2007

FILE 'REGISTRY' ENTERED AT 10:11:56 ON 11 OCT 2007
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STRUCTURE FILE UPDATES: 10 OCT 2007 HIGHEST RN 950149-06-1
DICTIONARY FILE UPDATES: 10 OCT 2007 HIGHEST RN 950149-06-1

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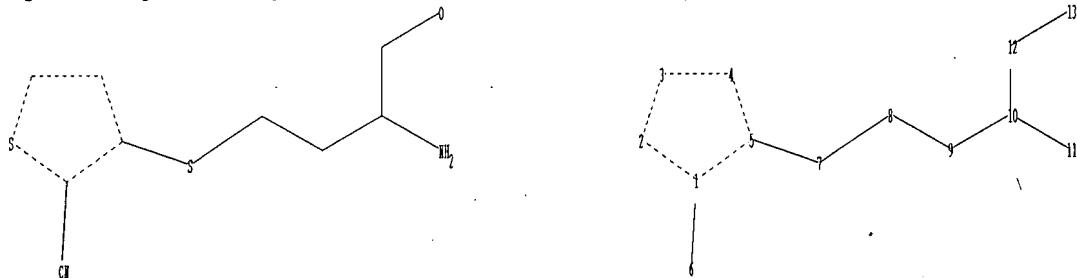
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stn/gen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10521727.str



```

chain nodes :
6 7 8 9 10 11 12 13
ring nodes :
1 2 3 4 5
chain bonds :
1-6 5-7 7-8 8-9 9-10 10-11 10-12 12-13
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 5-7 7-8 10-11 12-13
exact bonds :
1-6 8-9 9-10 10-12

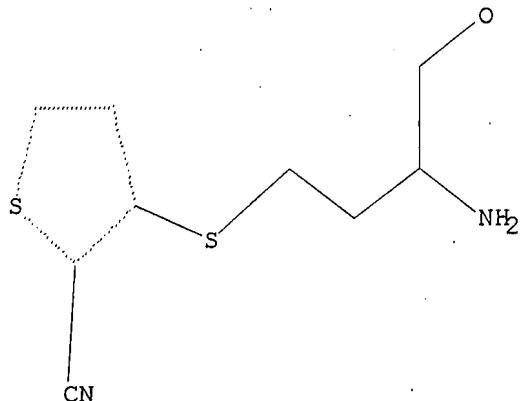
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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s
ENTER LOGIC EXPRESSION, QUERY NAME, OR (END):end
SEARCH ENDED BY USER

=> s 11
SAMPLE SEARCH INITIATED 10:12:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1 TO 80
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full
FULL SEARCH INITIATED 10:12:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

L3 4 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
172.10 172.31

FILE 'CAPPLUS' ENTERED AT 10:12:20 ON 11 OCT 2007

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FILE COVERS 1907 - 11 Oct 2007 VOL 147 ISS 16
FILE LAST UPDATED: 10 Oct 2007 (20071010/ED)

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=> s l3
L4 1 L3

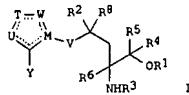
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:80678 CAPLUS
 DOCUMENT NUMBER: 140:145993
 TITLE: Preparation of aminohydroxyalkylthiophenecarbonitriles as nitric oxide synthase (NOS) inhibitors.
 INVENTOR(S): Mete, Antonio; Walters, Iain
 PATENT ASSIGNEE(S): AstraZeneca Ab, Swed.
 SOURCE: PCT Int. Appl., 37 pp.
 CODEN: PIKKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009580	A1	20040129	WO 2003-SE1215	20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GR, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, T2, UC, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TU, TM, AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CO, CI, GA, GN, GO, GL, ML, MH, NE, SN, TD, TG				
AU 2003245230	A1	20040209	AU 2003-245230	20030715
EP 1539731	A1	20050615	EP 2003-738863	20030715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006504655	T	20060209	JP 2004-522690	20030715
US 2005203172	A1	20050915	US 2005-521727	20050118
			SE 2002-2279	A 20020719
PRIORITY APFLN. INFO.:			WO 2003-SE1215	W 20030715

OTHER SOURCE(S): MARPAT 140:145993

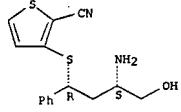
GI



AB Title compds. (I; Y = (fluoro)alkyl, (fluoro)alkoxy, halo, CN, C:CH, NO₂, CH₂OH, CHO, Ac, NH₂, NHCOH, NHCOCH₃, NHSO₂Me; T, U, W = CK, N, NR₁₃, O, SO_n; m = 0-2; X = H, (fluoro)alkyl, (fluoro)alkoxy, halo, OH, SH, CN, C:CH, N(R₁₄)₂, NO₂, CH₂OH, CHO, Ac, NHCOH; V = NR₇, O, CH₂, SO_n, CH₂OH, CH₂SO_n, CH₂CH₂, CH₂CH₃; n = 0-2; M = C, N; R₁, R₂ = H, Me.; R₂ = alkyl, alkenyl, alkynyl, cycloalkyl, 4-8 membered saturated heterocyclyl incorporating 1 O, S, N; any of said groups being optionally further substituted by alkyl, alkoxy, alkylthio, cycloalkyl, halo, (substituted) Ph; or R₂ = (substituted) Ph, 5-6 membered heteroaryl containing 1-3 O, S, N;

N,

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

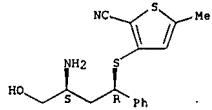


RN 651353-86-5 CAPLUS
 CN 2-Thiophenecarbonitrile, 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio-5-methyl-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 651353-84-3
CMF C16 H18 N2 O S2

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 R3 = H, (substituted) alkyl, cycloalkyl; R4-R7, R9-R12, R14 = H, alkyl, R13 = H, alkyl, CHO, Ac, SO₂CH₃, CF₃), were prep'd. Thus, 1,1-dimethylethyl (4S)-4-((2R)-2-mercaptop-2-phenylethyl)-2,2-dimethyl-3-oxazolidinecarboxylate (prep'n. given), 3-bromothiophene-2-carbonitrile, and NaH were stirred 24 h in DMF to give 1,1-dimethylethyl (4S)-4-((2R)-2-[(2-cyano-3-thienylthio)-2-phenylethyl]-2,2-dimethyl-3-oxazolidinecarboxylate. The latter was stirred 2 h with 4M HCl in dioxane to give a residue which was treated with oxalic acid in Et₂O to give 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio-2-thiophenecarbonitrile oxalate. I inhibited iNOS with IC₅₀ < 10 μM.

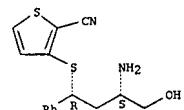
IT 651353-83-2P 651353-84-3P 651353-85-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminohydroxyalkylthiophenecarbonitriles as nitric oxide synthase inhibitors)

RN 651353-83-2 CAPLUS

CN 2-Thiophenecarbonitrile, 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio-5-methyl- (CA INDEX NAME)

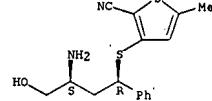
Absolute stereochemistry.



RN 651353-84-3 CAPLUS

CN 2-Thiophenecarbonitrile, 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 651353-85-4 CAPLUS

CN 2-Thiophenecarbonitrile, 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio-ethanediato (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 651353-82-2

CMF C15 H16 N2 O S2

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 651353-86-5 CAPLUS
 CN 2-Thiophenecarbonitrile, 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio-5-methyl-, ethanediato (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 651353-84-3

CMF C15 H16 N2 O S2

Absolute stereochemistry.

=> fil reg		SINCE FILE	TOTAL
COST IN U.S. DOLLARS		ENTRY	SESSION
FULL ESTIMATED COST	5.74		178.05
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)		SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	-0.78	ENTRY	SESSION
			-0.78

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
 Uploading C:\Program Files\Stnexp\Queries\10521727b.str



```

chain nodes :
6 7 8 9 10 11 12
ring nodes :
1 2 3 4 5
chain bonds :
5-6 6-7 7-8 8-9 9-10 9-11 11-12
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 5-6 6-7 9-10 11-12
exact bonds :
7-8 8-9 9-11

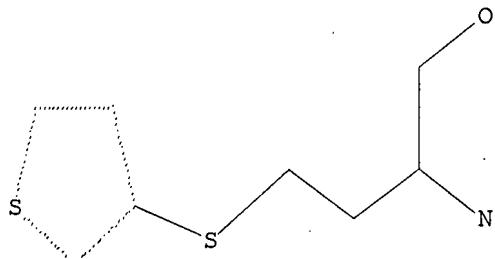
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS

L5 STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15
SAMPLE SEARCH INITIATED 10:13:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 full
FULL SEARCH INITIATED 10:13:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 22 TO ITERATE

100.0% PROCESSED 22 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

L7 4 SEA SSS FUL L5

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 172.10 350.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE 0.00 -0.78

FILE 'CAPLUS' ENTERED AT 10:13:16 ON 11 OCT 2007

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FILE LAST UPDATED: 10 Oct 2007 (20071010/ED)

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=> s 17
L8 1 L7

=> fil reg
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 0.47 350.62

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE 0.00 -0.78

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DICTIONARY FILE UPDATES: 10 OCT 2007 HIGHEST RN 950149-06-1

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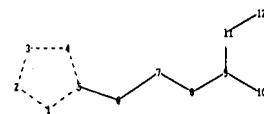
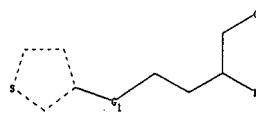
Please note that search-term pricing does apply when conducting SmartSELECT searches.

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=>

Uploading C:\Program Files\Stnexp\Queries\10521727c.str



chain nodes :
6 7 8 9 10 11 12

ring nodes :

1 2 3 4 5

chain bonds :

5-6 6-7 7-8 8-9 9-10 9-11 11-12

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 5-6 6-7 9-10 11-12

exact bonds :

7-8 8-9 9-11

G1:C,O,S,N

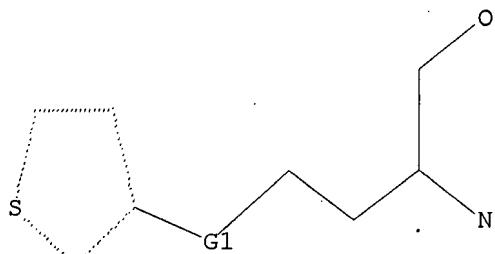
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS

L9

STRUCTURE UPLOADED

=> d
L9 HAS NO ANSWERS
L9 STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s 19
SAMPLE SEARCH INITIATED 10:14:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 286 TO 954
PROJECTED ANSWERS: 1 TO 80

L10 1 SEA SSS SAM L9

=> s 19 full
FULL SEARCH INITIATED 10:14:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 651 TO ITERATE

100.0% PROCESSED 651 ITERATIONS 34 ANSWERS
SEARCH TIME: 00.00.01

L11 34 SEA SSS FUL L9

=> fil caplus		
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.55	523.17
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.78

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=> s l11
L12 10 L11

=> d ibib abs hitstr tot

ACCESSION NUMBER: 2004:931485 CAPLUS

DOCUMENT NUMBER: 141:388679

TITLE: 2-Aminopropane-1,3-diol derivatives having heteroaryl group and immunosuppressants containing them

INVENTOR(S): Kono, Yasushi; Tanase, Takahiro; Ando, Naoki;

Kuriyama, Kazuhiko

PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKKXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

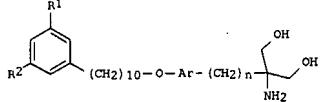
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004307442	A	20041104	JP 2003-106729	20030410
PRIORITY APPLN. INFO.:			JP 2003-106729	20030410

OTHER SOURCE(S): MARPAT 141:388679

GI



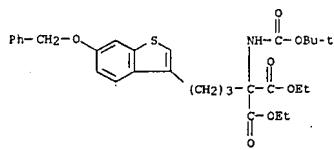
AB The compound I [R1, R2 = H, Cl-4 (halo) alkyl, OCH2Ph; Ar = naphthalene, benzothiophene, benzofuran, 2,3-dihydrobenzofuran, dibenzofuran, indole, indoline, pyridine, quinoline ring; m = 0, 1; n = 1-3], their pharmacologically acceptable salts, and their hydrates are claimed. Immunosuppressants containing 2¹ selected from 1, their salts, and their hydrates are also claimed. The immunosuppressants are useful for prevention or treatment of autoimmune diseases, rheumatoid arthritis, psoriasis, atopic dermatitis, asthma, polliosis, rejection in organ and bone marrow transplantation, etc. Thus, 2-amino-2-[3-(6-benzyloxybenzofuran-3-yl)propyl]propane-1,3-diol (preparation given at 3 mg/kg showed 72% inhibition against GVHD in C3H/He mice which receive transplantation of splenocytes of BALB/c mice).

IT 787550-38-3P 787550-52-1P

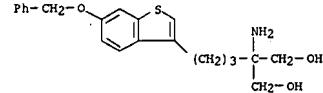
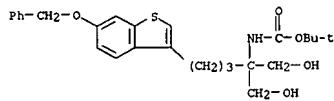
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-amino-1,3-propanediol derivs. having phenoxy- or benzyloxy-substituted heterocycl group as immunosuppressants)

RN 787550-38-3 CAPLUS

CN 1,3-Propanediol, 2-amino-2-[3-[6-(phenylmethoxy)benzo[b]thien-3-yl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

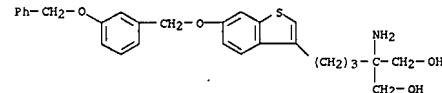


RN 787549-90-0 CAPLUS
CN Carbamic acid, [1,1-bis(hydroxymethyl)-4-[6-(phenylmethoxy)benzo[b]thien-3-yl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



● HCl

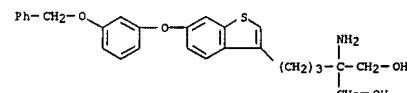
RN 787550-52-1 CAPLUS
CN 1,3-Propanediol, 2-amino-2-[3-[6-(phenylmethoxy)phenyl]methoxy]benzo[b]thien-3-ylpropyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 787549-68-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 2-amino-1,3-propanediol derivs. having phenoxy- or benzyloxy-substituted heterocycl group as immunosuppressants)

RN 787549-68-2 CAPLUS
CN 1,3-Propanediol, 2-amino-2-[3-[6-(phenylmethoxy)phenoxyl]benzo[b]thien-3-ylpropyl]- (CA INDEX NAME)



IT 787549-75-1P 787549-90-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-amino-1,3-propanediol derivs. having phenoxy- or benzyloxy-substituted heterocycl group as immunosuppressants)

RN 787549-75-1 CAPLUS

CN Propanediol acid, [{(1,1-dimethylethoxy)carbonyl}amino][3-(6-(phenylmethoxy)benzo[b]thien-3-yl)propyl]-, diethyl ester (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 2004:80678 CAPLUS

DOCUMENT NUMBER: 140:145993

TITLE: Preparation of aminohydroxylalkylthiophenecarbonitriles as nitric oxide synthase (NOS) inhibitors.

INVENTOR(S): Mete, Antonio; Walters, Iain

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

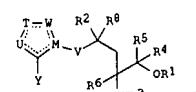
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009580	A1	20040129	WO 2003-SE1215	20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, T2, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TU, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2003245230	A1	20040209	AU 2003-245230	20030715
EP 1539731	A1	20050615	EP 2003-738863	20030715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SE				
JP 2006504655	T	20060209	JP 2004-522890	20030715
US 2005203172	A1	20050915	US 2005-521727	20050118
PRIORITY APPLN. INFO.:			SE 2002-2279	A 20020719
OTHER SOURCE(S):			WO 2003-SE1215	W 20030715

GI



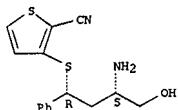
AB Title compds. [I]; Y = (fluoro)alkyl, (fluoro)alkoxy, halo, CN, C(=CH₂)NO₂, SO₂Ar, m = 0-2; R₁ = H, (fluoro)alkyl, (fluoro)alkoxy, halo, OH, SH, CN, C(=CH₂)N(R₁₄)₂, NO₂, CH₂OH, CHO, Ac, NHCOCH₃, NHCO₂Me; T, U, W = CX, N, NR₁₃, O, S, m = 0-2; R₂ = H, alkyl, alkenyl, alkynyl, cycloalkyl, 4-8 membered saturated heterocycl incorporating 1 O, 5, N; any set of said groups being optionally further substituted by alkyl, alkoxy, alkylthio, cycloalkyl, halo, (substituted) Ph, or R₂ = (substituted) Ph, 5-6 membered heteroaryl containing 1-3 O, S,

N, R₃ = H, (substituted) alkyl, cycloalkyl; R₄-R₇, R₉-R₁₂, R₁₄ = H, alkyl, R₁₃ = H, alkyl, CHO, Ac, SO₂CH₃, CF₃], were prepared. Thus,

L12 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 1,1-dimethylethyl (4S)-4-[(2R)-2-mercapto-2-phenylethyl]-2,2-dimethyl-3-oxazolidinecarboxylate (prep. given), 3-bromothiophene-2-carbonitrile, and NaH were stirred 24 h in DMF to give 1,1-dimethylethyl (4S)-4-[(2R)-2-[(2-cyano-3-thienyl)thio]-2-phenylethyl]-2,2-dimethyl-3-oxazolidinecarboxylate. The latter was stirred 2 h with 4M HCl in dioxane to give a residue which was treated with oxalic acid in Et₂O to give 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio]-2-thiophenecarbonitrile oxalate. It inhibited iNOS with IC₅₀ <10 μM.
 IT 651353-83-2P 651353-84-3P 651353-85-4P

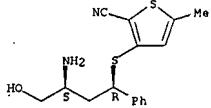
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aminohydroxylalkylthiophenecarbonitriles as nitric oxide synthase inhibitors)
 RN 651353-83-2 CAPLUS
 CN 2-Thiophenecarbonitrile, 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio- (CA INDEX NAME)

Absolute stereochemistry.



RN 651353-84-3 CAPLUS
 CN 2-Thiophenecarbonitrile, 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



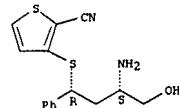
RN 651353-85-4 CAPLUS
 CN 2-Thiophenecarbonitrile, 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio-, ethanediolate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 651353-83-2
 CMF C15 H16 N2 O S2

Absolute stereochemistry.

L12 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



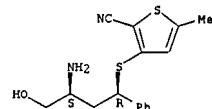
CM 2
 CRN 144-62-7
 CMF C2 H2 O4



RN 651353-86-5 CAPLUS
 CN 2-Thiophenecarbonitrile, 3-[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio-5-methyl-, ethanediolate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1
 CRN 651353-84-3
 CMF C16 H18 N2 O S2

Absolute stereochemistry.



CM 2
 CRN 144-62-7
 CMF C2 H2 O4



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:655594 CAPLUS

DOCUMENT NUMBER: 137:332741

TITLE: 4-Substituted D-Glutamic Acid Analogues: The First Potent Inhibitors of Glutamate Racemase (MurI) Enzyme with Antibacterial Activity

AUTHOR(S): de Dios, Alfonso; Prieto, Lourdes; Martín, José; Alfredo; Rubio, Almudena; Ezquerro, Jesús; Tebbe, Mark; López de Uralde, Beatriz; Martín, Justina; Sanchez, Ana; LeTourneau, Deborah L.; McGee, James E.; Boylan, Carole; Parr, Thomas R., Jr.; Smith, Michele C.

CORPORATE SOURCE: Eli Lilly and Co., Lilly S.A., Alcobendas, Madrid, 28108, Spain

SOURCE: Journal of Medicinal Chemistry (2002), 45(20), 4559-4570

CODEN: JHCMAR; ISSN: 0022-2623

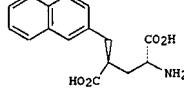
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:332741

GI



AB The first potent inhibitors of glutamate racemase (MurI) enzyme that show whole cell antibacterial activity are described. Optically pure 4-substituted D-glutamic acid analogs with (2R,4S) stereochem. and bearing aryl-, heteroaryl-, cinnamyl-, or biaryl-Me substituents represent a novel class of glutamate racemase inhibitors. Exploration of the D-Glu core led to the identification of lead compds. 2-Naphthylmethyl derivative (I) was a potent competitive inhibitor of glutamate racemase activity ($K_i = 16$ nM, CD assay); IC₅₀ = 0.1 μg/mL high-performance liquid chromatog. (HPLC) assay. Thorough structure-activity relation (SAR) studies led to benzothienyl derivs. such as 69 and 74 with increased potency (IC₅₀ = 0.036 and 0.01 μg/mL, resp., HPLC assay). These compds. showed potent whole cell antibacterial activity against *S. pneumoniae* PN-R6, and good correlation with the enzyme assay. Some of the prepared substances showed efficacy in an *in vivo* murine thigh infection model against *Streptococcus pneumoniae*. Data described herein suggest that glutamate racemase may be a viable target for developing new antibacterial agents.

IT 400625-60-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relationship of D-glutamic acid

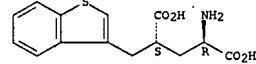
analogs as potent inhibitors of glutamate racemase with antibacterial activity)

RN 400625-60-7 CAPLUS

CN D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

L12 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry. Rotation (-).

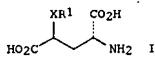


REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:142656 CAPLUS
 DOCUMENT NUMBER: 136:200471
 TITLE: Preparation of D-glutamic acid derivatives as inhibitors of glutamate racemase
 INVENTOR(S): De Dios, Alfonso; Ezquerro-Carrera, Jesus; McGee, James Eugene; Martin, Jose Alfredo; Prieto, Lourdes; Rubio-Esteban, Almudena; Smith, Michele Ceceil; Tebbe, Mark Joseph
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int'l Appl., 83 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014261	A2	20020221	WO 2001-US22589	20010809
WO 2002014261	A3	20030327		
W: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, U2, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, K2, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, CF, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG				
AU 2001078945	A5	20020225	AU 2001-78945	20010809
PRIORITY APPLN. INFO.:			ES 2000-2055	A 20000810
			US 2001-288361P	P 20010503
			WO 2001-US22589	W 20010809

OTHER SOURCE(S): MARPAT 136:200471
 GI



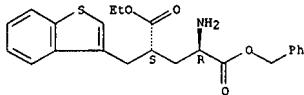
AB Compds. I [X is a bond, O, S, SO or SO₂; R = (C1-10)alkyl, (C2-10)alkenyl or -alkynyl, (C4-10)alkadienyl, carbomido- or aminocarbonyl(C1-8)alkyl which may be substituted by (C3-10)cycloalkyl or by one or two (un)substituted aromatic groups, provided that when X represents a bond, R1 can not represent a 3-phenyl-2-propenyl, 3-(4-chlorophenyl)-2-propenyl, 4-fluorobenzyl or 1-naphthylmethyl group] or their esters, amides or salts were prepared as inhibitors of glutamate racemase for use as antibiotics. Thus, (2R,4S)-2-amino-4-(2-naphthylmethyl)pentanedioic acid was prepared by alkylation of D-Et N-(tert-butylcarboxyl)pyroglutamate with 2-naphthylmethyl bromide, followed by ring cleavage/deprotection using LiOH in aqueous THF and workup.

IT 400625-60-7P 400625-65-2P 400626-09-7P

400626-10-OP 400626-13-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Therapeutic use)

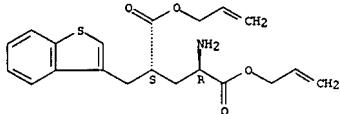
L12 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 400626-13-3 CAPLUS
 CN D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-, di-2-propenyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

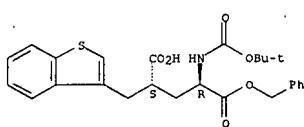


IT 400626-65-5P 400626-66-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of D-glutamic acid derivs. as inhibitors of glutamate racemase)

RN 400626-65-5 CAPLUS

CN D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-N-[(1,1-dimethylethoxy)carbonyl]-, 1-(phenylmethyl) ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



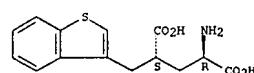
RN 400626-66-6 CAPLUS
 CN D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-N-[(1,1-dimethylethoxy)carbonyl]-, 5-ethyl 1-(phenylmethyl) ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

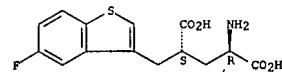
(Uses)
 (prep. of D-glutamic acid derivs. as inhibitors of glutamate racemase)
 RN 400625-60-7 CAPLUS
 CN D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



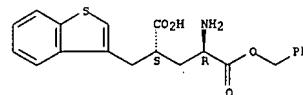
RN 400625-65-2 CAPLUS
 CN D-Glutamic acid, 4-[(5-fluorobenzo[b]thien-3-yl)methyl]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 400626-09-7 CAPLUS
 CN D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-, 1-(phenylmethyl) ester, hydrochloride, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

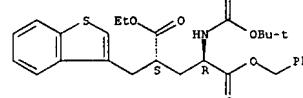


● HCl

RN 400626-10-0 CAPLUS
 CN D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-, 5-ethyl 1-(phenylmethyl) ester, hydrochloride, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L12 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

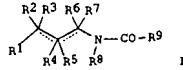


L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2000:725596 CAPLUS
 DOCUMENT NUMBER: 133:282081
 TITLE: Preparation of 4-aminobutanoic acid derivatives as matrix metalloproteinase inhibitors
 INVENTOR(S): Takahashi, Kanji; Suguri, Tsuneyuki
 PATENT ASSIGNEE(S): Qno Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int'l Appl., 158 pp.
 CODEN: PIIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059865	A1	20001012	WO 2000-JP2191	20000405
V: AE, AG, AL, AM, AT, AU, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, C2, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1167346	A1	20020102	EP 2000-915343	20000405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6569899	B1	20030527	US 2001-958093	20011005
US 2004002480	A1	20040101	US 2003-419812	20030422
US 6524307	B2	20050802		
PRIORITY APPLN. INFO.:			JP 1999-98453	A 19990406
			WO 2000-JP2191	W 20000405
			US 2001-958093	A3 20011005

OTHER SOURCE(S): MARPAT 133:282081

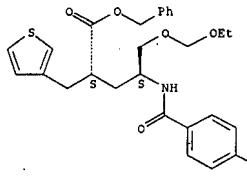
GI



AB: The title compounds I [R1 = CO₂R₁₀, etc.; R10 = H, alkyl, etc.], R2 - R7 = H, alkyl, alkenyl, etc.; R8 = H, alkoxy, carbonyl, etc.; R9 = alkyl, alkoxy, etc., dotted line indicates single or double bond] are prepared. Due to their inhibition of matrix metalloproteinase, I are useful in preventing and/or treating rheumatism, osteoarthritis, deforming osteoarthritis, pathol. bone resorption, osteoporosis, periodontitis, interstitial nephritis, arteriosclerosis, pulmonary emphysema, liver cirrhosis, corneal damage, corneal ulcer, diseases in association with metastatic infiltration

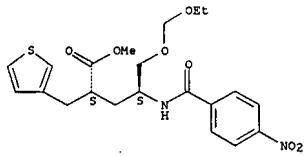
or proliferation of cancer cells, autoimmune diseases (Crohn's disease, Sjogren's disease), diseases in association with leukocyte migration into vessels and infiltration, angiogenesis, multiple sclerosis, aortic aneurysm, endometriosis, post-PICA resection, unstable angina, acute myocardial infarction, transient cerebral ischemic attack, etc.

L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



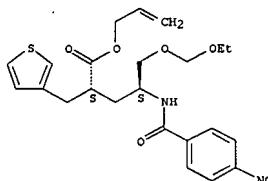
RN 299431-20-2 CAPLUS
 CN 3-Thiophene propanoic acid, α -[(2S)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino]propyl]-, methyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 299431-21-3 CAPLUS
 CN 3-Thiophene propanoic acid, α -[(2S)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino]propyl]-, 2-propenyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



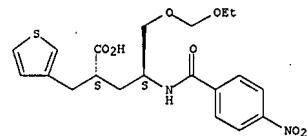
RN 299431-74-6 CAPLUS
 CN 3-Thiophene propanamide, α -[(2S)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino]propyl]-N-hydroxy-, (α S)- (9CI) (CA INDEX NAME)

L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 N-Hydroxy-2-(S)-(3-phenylpropyl)-5-hydroxy-4(S)-[N-methyl-N-(4-bromophenylcarbonyl)amino]pentanamide in vitro showed IC₅₀ of 0.0042 μ M against gelatinase A. Formulations are given.

IT 299431-17-7P 299431-18-8P 299431-19-9P
 299431-20-2P 299431-21-3P 299431-74-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-aminobutanoic acid derivs. as matrix metalloproteinase inhibitors)

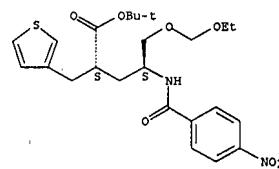
RN 299431-17-7 CAPLUS
 CN 3-Thiophene propanoic acid, α -[(2S)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino]propyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 299431-18-8 CAPLUS
 CN 3-Thiophene propanoic acid, α -[(2S)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino]propyl]-, 1,1-dimethylethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

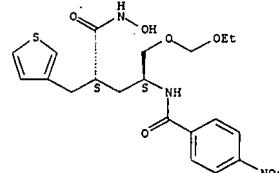


RN 299431-19-9 CAPLUS
 CN 3-Thiophene propanoic acid, α -[(2S)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino]propyl]-, phenylmethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

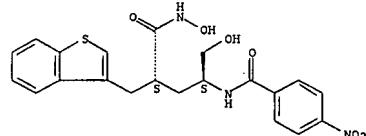
L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



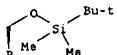
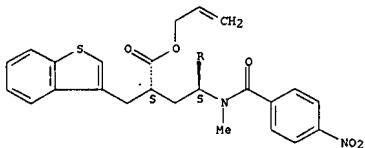
RN 299431-99-5 CAPLUS
 CN Benzo[b]thiophene-3-propanamide, N-hydroxy- α -[(2S)-3-hydroxy-2-[(4-nitrobenzoyl)amino]propyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 299432-56-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 4-aminobutanoic acid derivs. as matrix metalloproteinase inhibitors)
 RN 299432-56-7 CAPLUS
 CN Benzo[b]thiophene-3-propanoic acid, α -[(2S)-3-[(1,1-dimethylethyl)dimethylsilyloxy]-2-[(4-nitrobenzoyl)amino]propyl]-, 2-propenyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1999:271331 CAPLUS

DOCUMENT NUMBER: 130:311803

TITLE: Preparation of aminobutanoic acid derivatives as inhibitors of matrix metalloproteinases

INVENTOR(S): Takahashi, Kanji; Sugura, Tsuneyuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 557 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

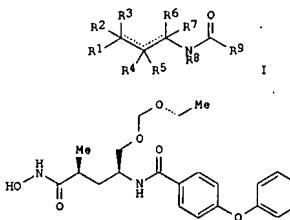
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9919296	A1	19990422	WO 1998-JP4529	19980907
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, U2, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1024134	A1	20000802	EP 1998-947771	19980907
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 3155536	B2	20010409	JP 2000-515869	19980907
HU 2001000579	A2	20010528	HU 2001-579	19980907
HU 2001000579	A3	20011128		
ZA 9809113	A	19990414	ZA 1998-9113	19981006
CA 2305463	A1	19990422	CA 1998-2305463	19981007
CA 2305463	C	20051227		
AU 9894580	A	19990503	AU 1998-94580	19981007
AU 760181	B2	20030508		
BR 9812807	A	20001017	BR 1998-12807	19981007
TR 200001732	T2	20010122	TR 2000-1732	19981007
JP 2001172245	A	20010626	JP 2000-322746	19981007
JP 3470692	B2	20031125		
TR 200101019	T2	20020621	TR 2001-1019	19981007
TR 200101020	T2	20020621	TR 2001-1020	19981007
NZ 503789	A	20021126	NZ 1998-503789	19981007
JP 2003212831	A	20030730	JP 2002-344969	19981007
RU 2215735	C2	20031110	RU 2000-111472	19981007
TW 568897	B	20040101	TW 1998-87116686	19981009
NO 2000001813	A	20000609	NO 2000-1813	20000407
MX 200003465	A	20001113	MX 2000-3465	20000407
US 6420427	B1	20020716	US 2000-529056	20000407
PRIORITY APPLN. INFO.:				
			JP 1997-291834	A 19971009
			JP 1998-28533	A 19980210
			JP 2000-515869	A3 19980907
			WO 1998-JP4529	W 19980907
			JP 2000-322746	A3 19981007

OTHER SOURCE(S): MARPAT 130:311803
GI



AB Aminobutanoic acid derivs. represented by general formula (I) and salts thereof [wherein R1 = CO2R10, CONHOR10, CONHNHR10, (CH2)nSR50, Y-P(:O)(OR51)2; R10 = H, Cl-8 alkyl, Ph, phenyl- or Cl-8 alkoxy-C1-8 alkyl, PhO2C, PhCH2O2C, Cl-8 alkoxycarbonyl; wherein n = 0-3; R50 = H, Cl-8 alkyl, Cl-8-alkylcarbonyl, PhCO, SH, Cl-8 alkylthio, SPH; R51 = H, Cl-8 alkyl, Ph; Y = single bond, CH2, O, R2-R7 = H, C2-8 alkenyl, (un)substituted SH, OH, or NH2, CO2H, C1-8 alkyl-carbonyl, Cl-8 alkoxy-carbonyl, (un)substituted carbocyclyl or heterocyclyl, (un)substituted Cl-8 alkyl or C2-8 alkenyl; or R3 and R4 or R5 and R6 together represents Cl-8 alkylene; or R2 and R3, R4 and R5, or R6 and R7 together represent C2-8 alkylene; when R8 = H, (un)substituted Cl-8 alkyl, or Cl-8 alkoxy-carbonyl, R9 = (un)substituted carbocyclyl; or when R8 = (un)substituted carbocyclyl or heterocyclyl, R9 = (un)substituted Cl-8 alkyl or Cl-8 alkoxy, (un)substituted carbocyclyl; M = Cl-8 alkylene; J = single bond, O, S, NH, Cl-8 alkyl-N] are prepared and claimed. Also claimed are matrix metalloproteinases containing I as the active ingredients and drugs

containing I as the active ingredients for the prevention and/or treatment of

rheumatism, osteoarthritis, pathol. bone resorption, osteoporosis, periodontal diseases, interstitial nephritis, arteriosclerosis, pulmonary emphysema, hepatic cirrhosis, corneal injury, diseases due to metastasis and infiltration of cancer cells or proliferation thereof, autoimmune diseases (such as Crohn's disease and Sjogren's disease), diseases due to transmigration of white blood cells or infiltration thereof, neovascularization, multiple sclerosis, aortic aneurysm, or endometritis. For example, the title compound (II) showed IC50 of 26 nM against human stromelysin. A table and an ampule formulation containing I were described.

IT 223469-75-8 223469-86-1P 223469-87-2P

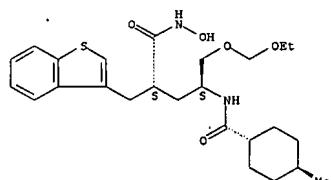
223469-93-OP

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aminobutanoic acid derivs. as inhibitors of matrix metalloproteinases for prevention and treatment of diseases)

RN 223469-75-8 CAPLUS

CN Benzo[b]thiophene-3-propanamide, α -[(2S)-3-(ethoxymethoxy)-2-[(trans-4-methylcyclohexyl)carbonyl]amino]propyl]-N-hydroxy-, (as) - (9CI) (CA INDEX NAME)

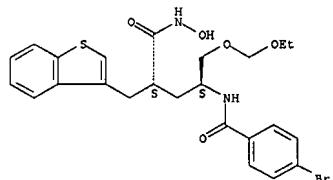
Absolute stereochemistry.



RN 223469-86-1 CAPLUS

CN Benzo[b]thiophene-3-propanamide, α -[(2S)-2-[(4-bromobenzoyl)amino]-3-(ethoxymethoxy)propyl]-N-hydroxy-, (as) - (9CI) (CA INDEX NAME)

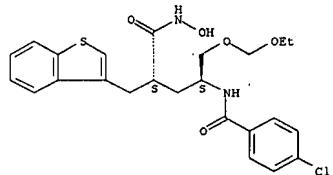
Absolute stereochemistry.



RN 223469-87-2 CAPLUS

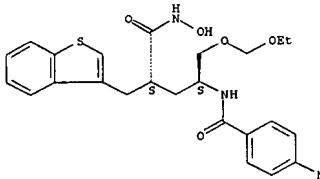
CN Benzo[b]thiophene-3-propanamide, α -[(2S)-2-[(4-chlorobenzoyl)amino]-3-(ethoxymethoxy)propyl]-N-hydroxy-, (as) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 223469-93-0 CAPLUS
CN Benzo[b]thiophene-3-propanamide, α -[(2S)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino]propyl]-N-hydroxy-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

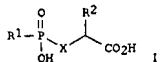
L12 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
ACCESSION NUMBER: 1998:208423 CAPLUS
DOCUMENT NUMBER: 128:270730
TITLE: Naaladase compositions and methods for treating glutamate abnormality and effecting neuronal activity in animals
INVENTOR(S): Slusher, Barbara S.; Jackson, Paul F.; Tays, Kevin L.; MacLin, Keith M.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9813046	A1	19980402	WO 1997-US14344	19970815
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5824562	A	19981020	US 1996-718703	19960927
US 6054444	A	20000425	US 1997-842360	19970424
US 6025344	A	20000215	US 1997-858985	19970527
US 6036190	A	20000404	US 1997-863624	19970527
US 6017503	A	20000125	US 1997-884479	19970627
US 6004946	A	19991221	US 1997-889358	19970708
ZA 5707089	A	19990323	ZA 1997-7089	19970808
ZA 5707090	A	19990323	ZA 1997-7090	19970808
CA 2264043	A1	19980402	CA 1997-2264043	19970815
AU 9740677	A	19980417	AU 1997-40677	19970815
BR 9711555	A	19980824	BR 1997-11555	19970815
EP 1005348	A1	20000607	EP 1997-938314	19970815
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 334780	A	20001222	NZ 1997-334780	19970815
HU 2000001062	A2	20010528	HU 2000-1062	19970815
HU 2000001062	A3	20010528		
RU 2211697	C2	20030910	RU 1999-106243	19970815
US 5985855	A	19991116	US 1997-974975	1997120
WO 9847906	A1	19981029	WO 1998-U97522	19980413
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU				
RW: GH, OM, KB, LG, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9869723	A	19981113	AU 1998-69723	19980413
NO 9901387	A	19990527	NO 1999-1387	19990322
US 6288046	B1	20010911	US 1999-298866	19990426
PRIORITY APPLN. INFO.:			US 1996-718703	A 19960927
			US 1997-842360	A 19970424
			US 1997-858985	A 19970527
			US 1997-863624	A 19970527

L12 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
US 1997-884479 A 19970627
US 1996-665776 A2 19960617
US 1996-775586 A2 19961231
US 1996-778733 A2 19961231
WO 1997-US14344 W 19970815
WO 1998-US7522 W 19980413

OTHER SOURCE(S): MARPAT 128:270730

GI



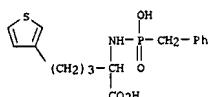
AB The present invention relates to a method of treating a glutamate abnormality and a method of effecting a neuronal activity in an animal using a NAALADase inhibitor I (R₁ = H, Cl-9 straight or branched alkyl, C2-9 straight or branched alkenyl, C3-8 cycloalkenyl, C5-7 cycloalkenyl and aryl, etc.; R₂ = Cl-9 straight or branched alkenyl, C3-8 cycloalkyl, C5-7 cycloalkenyl and aryl, etc.; X = O, organoamino, organomethylene), and a pharmaceutical composition comprising an effective amount of a

NAALADase inhibitor for treating a glutamate abnormality and effecting a neuronal activity in an animal. Thus, reaction of Me O-benzylphosphinic acid (preparation given) with dibenzyl 2-methylenepentanediocate in the presence of

Et₃N/Me₃SiCl in CH₂Cl₂ followed by treatment with Me₃Al and Pd-catalyzed hydrogenation gave title compound, 2-[(methylhydroxypyrophosphinyl)methyl]pentanedioc acid, MeP(O)(OH)CH₂CH(CO₂H)CH₂CH₂CO₂H. The biol. activity of the compds. prepared is described and discussed in detail.

IT 200701-09-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of glutamate derived hydroxypyrophosphinylalkanoic acids and nasaladase compns. and methods for treating glutamate abnormality and effecting neuronal activity in animals)

RN 200701-09-3 CAPLUS
CN 3-Thiophenepentanoic acid, α -[(hydroxy(phenylmethyl)phosphinyl)amino]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

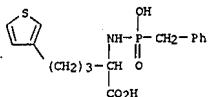
L12 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
ACCESSION NUMBER: 1998:28668 CAPLUS
DOCUMENT NUMBER: 128:84389
TITLE: Methods of cancer treatment using NAALADase inhibitors
INVENTOR(S): Slusher, Barbara S.; Jackson, Paul F.; Tays, Kevin L.; MacLin, Keith M.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748409	A1	19971224	WO 1997-US10149	19970613
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5804602	A	19980900	US 1996-665775	19960617
US 6011021	A	20000104	US 1997-864545	19970528
AU 9733887	A	19980107	AU 1997-33887	19970613
AU 725455	B2	20000102		
EP 954295	A1	19991110	EP 1997-929944	19970613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9709819	A	20000111	BR 1997-9819	19970613
NZ 332325	A	20000623	NZ 1997-332325	19970613
HU 9903421	A2	20010528	HU 1999-3421	19970613
RU 2218179	C2	20031210	RU 1999-100712	19970613
MX 9810091	A	20000131	MX 1999-10091	19981130
NO 9805652	A	19990209	NO 1999-5652	19981203
PRIORITY APPLN. INFO.:			US 1996-665775	A 19960617
			US 1997-864545	A 19970528
			WO 1997-US10149	W 19970613

OTHER SOURCE(S): MARPAT 128:84389
AB Glutamate-derived hydroxypyrophosphinyl derivs. are claimed as NAALADase inhibitors for the treatment of cancer. Phosphonate, hydroxypyrophosphinyl, and phosphoramidate derivs. R1P(O)(OH)CH₂CO₂H [R1 = H, alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl; X = CH₂, O, NR₁; R₂ = alkyl, alkenyl, cycloalkyl, cycloalkenyl, or aryl which may be optionally substituted with carboxylic acid] were prepared in vitro assays of NAALADase inhibitory activities are tabulated for several phosphinyl derivs., e.g., 2-(phosphonomethyl)pentanedioc acid.

IT 200701-09-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (methods of cancer treatment using NAALADase inhibitors)

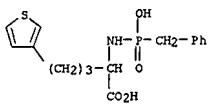
RN 200701-09-3 CAPLUS
CN 3-Thiophenepentanoic acid, α -[(hydroxy(phenylmethyl)phosphinyl)amino]-(9CI) (CA INDEX NAME)



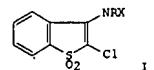
L12 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:28659 CAPLUS
 DOCUMENT NUMBER: 120:70764
 TITLE: Hydroxy-phosphinyl derivatives useful as NAALADase inhibitor
 INVENTOR(S): Jackson, Paul F.; Slusher, Barbara S.; Tsay, Kevin L.; MacLin, Keith M.
 PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 166 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 17
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748400	A1	19971224	WO 1997-US11540	19970616
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU				
RW: GH, KE, LS, MV, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5672592	A	19970930	US 1996-665776	19960617
US 5795877	A	19980818	US 1996-775586	19961231
US 5863536	A	19990126	US 1996-778733	19961231
US 6046180	A	20000404	US 1997-863624	19970527
AU 9735135	A	19980107	AU 1997-35135	19970616
EP 936914	A1	19990825	EP 1997-931529	19970616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002514160	T	20020514	JP 1998-503609	19970616
ZA 9707086	A	19980630	ZA 1997-7086	19970808
ZA 9707085	A	19990208	ZA 1997-7085	19970808
PRIORITY APPLN. INFO.:				
US 1996-665776				A 19960617
US 1996-775586				A 19961231
US 1996-778733				A 19961231
US 1997-863624				A 19970527
WO 1997-US11540				W 19970616

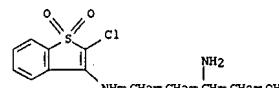
OTHER SOURCE(S): MARPAT 128:70764
 AB Phosphonate, hydroxymethylphosphinyl, and phosphoramidate derivs. R1P(O)(OH)XCHR2CO2H [R1 = H, alkyl, alkenyl, cycloalkyl, aryl, X = CH2, O, NR1; R2 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl] that inhibit N-acetylated α -linked acidic dipeptidase (NAALADase) enzyme activity were prepared. In vitro assays of NAALADase inhibitory activities are tabulated for several phosphinyl derivs., e.g., 2-(phosphonomethyl)pentanedioic acid.
 IT 200701-09-3
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 RN 200701-09-3 CAPLUS
 CN 3-Thiophenepentanoic acid, α -[(hydroxy(phenylmethyl)phosphinyl)amino]- (9CI) (CA INDEX NAME)



L12 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1977:133495 CAPLUS
 DOCUMENT NUMBER: B6:133495
 TITLE: Pharmacological study of the 2-chloro-3-(organylamino) derivatives of benzo[b]thiophene sulfone
 AUTHOR(S): Germane, S.; Udre, V.; Vitolina, R.
 CORPORATE SOURCE: Inst. Org. Sint., Riga, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1976), 10(10), 16-21
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI



AB All 22 benzo[b]thiophenesulfones (I) tested in mice, rats, and cats decreased locomotor activity, relaxed skeletal muscles, and inhibited coordination. N-alkyl and N-arylpiperazine derivs. had analgesic and hypothermic effects, potentiated barbiturate sleeping time, and shortened the duration of phenamine stereotypy. These comds. also had pressor effects. Hydroxymino and diamino derivs. had similar analgesic, hypothermic, and muscle relaxant effects. The cycloamino derivs. potentiated phenamine stereotypy. All comds. tested antagonized the spasmogenic effect of BaCl2 and electroshock convulsions.
 IT 62268-34-2
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmacol. of)
 RN 62268-34-2 CAPLUS
 CN 1-Butanol, 2-amino-4-[(2-chloro-1,1-dioxidothieno[b]thien-3-yl)amino]- (9CI) (CA INDEX NAME)



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L1	47	"5672592"	USPAT	OR	OFF	2007/10/11 10:28
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L3	0	00/34254	USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/11 10:51
L4	42	"0034254"	USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/11 10:52
L5	2	"200034254"	USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/11 11:23
L6	1	"10521728"	US-PGPUB; USPAT	OR	OFF	2007/10/11 12:02
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L9	18	549/61.ccls. and 549/65.ccls. and 549/68.ccls.	US-PGPUB; USPAT	OR	OFF	2007/10/11 12:02
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S6	55	549/61.ccls. and 549/68.ccls.	US-PGPUB; USPAT	OR	OFF	2007/08/20 13:00
S7	24	549/61.ccls. and 549/68.ccls. and thiophene	US-PGPUB; USPAT	OR	OFF	2007/08/20 13:00
S8	3	549/61.ccls. and 549/68.ccls. and thiophene and pain	US-PGPUB; USPAT	OR	OFF	2007/08/20 13:00